

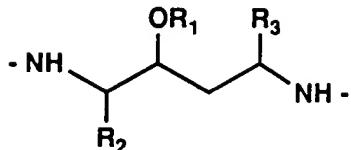
CLAIMS

What is claimed is:

1. A compound of the formula:



wherein X is



wherein R<sub>1</sub> is hydrogen, loweralkyl, alkoxyalkyl, thioalkoxyalkyl or alkoxyalkoxyalkyl and R<sub>2</sub> and R<sub>3</sub> are independently -(R<sub>0</sub>)<sub>d</sub>-R<sub>5</sub> wherein at each occurrence R<sub>0</sub> is independently selected from -(CH<sub>2</sub>R<sub>4</sub>)- and loweralkylene wherein at each occurrence d is independently selected from 0 and 1, at each occurrence R<sub>4</sub> is independently selected from -S-, -O-, -NH-, -N(loweralkyl)-, -S(O)-, -S(O)<sub>2</sub>- and -CH<sub>2</sub>- and at each occurrence R<sub>5</sub> and R<sub>5\*</sub> are independently selected from

- (i) loweralkyl,
- (ii) aryl,
- (iii) thioalkoxyalkyl
- (iv) (aryl)alkyl,
- (v) cycloalkyl,
- (vi) cycloalkylalkyl,
- (vii) hydroxyalkyl,
- (viii) alkoxyalkyl,
- (ix) aryloxyalkyl,
- (x) haloalkyl,

- (xi) carboxyalkyl,
- (xii) alkoxy carbonyl alkyl,
- (xiii) amino alkyl,
- (xiv) (N-protected) amino alkyl,
- (xv) alkyl amino alkyl,
- (xvi) ((N-protected) (alkyl) amino) alkyl,
- (xvii) dialkyl amino alkyl,
- (xviii) guanidino alkyl,
- (xix) lower alketyl,
- (xx) heterocyclic,
- (xxi) (heterocyclic) alkyl,
- (xxii) hydrogen,
- (xxiii) arylthio alkyl,
- (xxiv) arylsulfonyl alkyl,
- (xxv) (heterocyclic) thio alkyl,
- (xxvi) (heterocyclic) sulfonyl alkyl,
- (xxvii) (heterocyclic) oxy alkyl,
- (xxviii) arylalkoxy alkyl,
- (xxix) arylthioalkoxy alkyl,
- (xxx) arylalkylsulfonyl alkyl,
- (xxxi) (heterocyclic) alkoxy alkyl,
- (xxxii) (heterocyclic) thioalkoxy alkyl,
- (xxxiii) (heterocyclic) alkylsulfonyl alkyl,
- (xxxiv) cycloalkyloxy alkyl,
- (xxxv) cycloalkylthio alkyl,
- (xxxvi) cycloalkylsulfonyl alkyl,
- (xxxvii) cycloalkylalkoxy alkyl,
- (xxxviii) cycloalkylthioalkoxy alkyl,
- (xxxix) cycloalkylalkylsulfonyl alkyl,
- (xl) aminocarbonyl,
- (xli) alkylaminocarbonyl,
- (xlii) dialkylaminocarbonyl,
- (xliii) aroyl alkyl,

- (xliv) (heterocyclic) carbonylalkyl,
- (xlv) polyhydroxyalkyl,
- (xlvi) aminocarbonylalkyl,
- (xlvii) alkylaminocarbonylalkyl and
- (xlviii) dialkylaminocarbonylalkyl;

A and B are independently selected from

(1) Z-W-

wherein at each occurrence W is absent or represents a peptide chain containing 1-3 amino acids wherein and at each occurrence Z is  $R_6-(C(R_{5*})(R_5))_e-(C(T))_f-(C(R_{5*})(R_5))_g-(U)_i-(C(R_{5*})(R_5))_j-C(T)_f-$  wherein at each occurrence  $R_6-(C(R_{5*})(R_5))_e-(C(T))_f-(C(R_{5*})(R_5))_g-(U)_i-(C(R_{5*})(R_5))_j-C(T)_f-$  is bonded to the amino terminus of the peptide chain, at each occurrence T is independently selected from O and S, at each occurrence  $R_5$  and  $R_{5*}$  are independently defined as above or  $R_5$ ,  $R_{5*}$  and the carbon atom to which they are bonded taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group or when e, g or j is 2 or more,  $R_5$  and  $R_{5*}$  on adjacent carbon atoms when taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group, at each occurrence U is independently selected from O, S and  $-N(R_5)-$  wherein  $R_5$  is independently defined as above, at each occurrence e is independently selected from 0, 1, 2 and 3, at each occurrence f is independently selected from 0 and 1, at each occurrence g is independently selected from 0, 1, 2 and 3, at each occurrence i is independently selected from 0 and 1, at each occurrence j is independently selected from 0, 1, 2 and 3, and at each occurrence  $R_6$  is independently selected from

(a)  $R_7-(R_9)_k-$  wherein at each occurrence  $R_9$  is independently selected from  $N(R_7)$ , O and S and at each occurrence k is independently selected from 0 and 1,

(b)  $(R_7)_2N-O-$ ,

(c)  $R_7S(O)_2N(R_5)-$  and

(d)  $R_{170}R_{171}CH=CH-$  wherein at each occurrence  $R_{171}$  is absent, O, S, NH or  $-N(alkyl)-$  and at each occurrence  $R_{170}$  is aryl or heterocyclic and wherein at each occurrence  $R_5$  is independently defined as above and at each occurrence  $R_7$  is independently selected from:

- (i) hydrogen,
- (ii) loweralkyl,
- (iii) cycloalkyl,
- (iv) aryl,
- (v) arylalkyl,
- (vi) (aryl)alkoxyalkyl,
- (vii) aminoalkyl,
- (viii) N-protected-aminoalkyl,
- (ix) alkylaminoalkyl,
- (x) (N-protected) (alkyl)aminoalkyl,
- (xi) dialkylaminoalkyl,
- (xii) carboxyalkoxyalkyl,
- (xiii) (alkoxycarbonyl)alkoxyalkyl,
- (xiv) carboxyalkyl,
- (xv) alkoxy carbonylalkyl,
- (xvi) (amino)carboxyalkyl,
- (xvii) ((N-protected)amino)carboxyalkyl,
- (xviii) (alkylamino)carboxyalkyl,
- (xix) ((N-protected)alkylamino)carboxy-alkyl,
- (xx) (dialkylamino)carboxyalkyl,
- (xxi) (amino)alkoxycarbonylalkyl,

- (xxii) ((N-protected) amino)alkoxycarbonyl-alkyl,
- (xxiii) (alkylamino)alkoxycarbonylalkyl,
- (xxiv) ((N-protected) alkylamino)alkoxy-carbonylalkyl,
- (xxv) (dialkylamino)alkoxycarbonylalkyl,
- (xxvi) aminocycloalkyl,
- (xxvii) alkoxyalkyl,
- (xxviii) (polyalkoxy)alkyl,
- (xxix) heterocyclic,
- (xxx) (heterocyclic)alkyl,
- (xxxi) (hydroxyamino)alkyl,
- (xxxii) (alkoxyamino)alkyl,
- (xxxiii) N-protecting group,
- (xxxiv) cycloalkylalkyl,
- (xxxv) loweralkenyl,
- (xxxvi) hydroxyalkyl,
- (xxxvii) dihydroxyalkyl,
- (xxxviii) (alkoxy)(alkyl)aminoalkyl,
- (xxxix) alkylaminocycloalkyl,
- (lx) dialkylaminocycloalkyl,
- (lxi) polyhydroxyalkyl,
- (lxii) aryloxyalkyl,
- (lxiii) arylthioalkyl,
- (lxiv) arylsulfonylalkyl,
- (lxv) (heterocyclic)thioalkyl,
- (lxvi) (heterocyclic)sulfonylalkyl,
- (lxvii) (heterocyclic)oxyalkyl,
- (lxviii) arylalkoxyalkyl,
- (lxix) arylthioalkoxyalkyl,
- (lxx) arylalkylsulfonylalkyl,
- (lxxi) (heterocyclic)alkoxyalkyl,
- (lxxii) (heterocyclic)thioalkoxyalkyl,

- (lxxiii) (heterocyclic)alkylsulfonylalkyl,
- (lxxiv) cycloalkyloxyalkyl,
- (lxxv) cycloalkylthioalkyl,
- (lxxvi) cycloalkylsulfonylalkyl,
- (lxxvii) cycloalkylalkoxyalkyl,
- (lxxviii) cycloalkylthioalkoxyalkyl,
- (lxxix) cycloalkylalkylsulfonylalkyl,
- (lxxx) aroylalkyl,
- (lxxxi) (heterocyclic)carbonylalkyl,
- (lxxxii) (aryl)aminoalkyl,
- (lxxxiii) (aryl)(alkyl)aminoalkyl,
- (lxxxiv) (arylalkyl)aminoalkyl,
- (lxxxv) (arylalkyl)(alkyl)aminoalkyl,
- (lxxxvi) (heterocyclic)aminoalkyl,
- (lxxxvii) (heterocyclic)(alkyl)aminoalkyl,
- (lxxxviii) ((heterocyclic)alkyl)alkylaminoalkyl
- (lxxxix) ((heterocyclic)alkyl)alkylaminocarbonylalkyl
- (xc) (alkoxyalkyl)aminoalkyl,
- (xci) thioalkoxyalkyl,
- (xcii) mercaptoalkyl,
- (xciii) aminocarbonylalkyl,
- (xciv) alkylaminocarbonylalkyl and
- (xcv) dialkylaminocarbonylalkyl;

and

(2) Z'-W'

wherein at each occurrence W' is absent or represents a peptide chain containing 1-3 amino acids and wherein at each occurrence Z' is

R<sub>6</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>e</sub>-(S(O))<sub>m</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>g</sub>-(U)<sub>i</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>j</sub>-C(T)<sub>i</sub>-  
wherein R<sub>6</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>e</sub>-(S(O))<sub>m</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>g</sub>-(U)<sub>i</sub>-  
(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>j</sub>-C(T)<sub>i</sub>- is bonded to the amino terminus of the peptide chain wherein at each occurrence T is independently

selected from O and S, at each occurrence R<sub>5</sub> and R<sub>5\*</sub> are independently defined as above or R<sub>5</sub>, R<sub>5\*</sub> and the carbon atom to which they are bonded taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group or when e, g or j is 2 or more, R<sub>5</sub> and R<sub>5\*</sub> on adjacent carbon atoms when taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group, at each occurrence U is independently selected from O, S and -N(R<sub>5</sub>)- wherein R<sub>5</sub> is independently defined as above, at each occurrence e is independently selected from 0, 1, 2 and 3, at each occurrence m is independently selected from 1 and 2, at each occurrence g is independently selected from 0, 1, 2 and 3, at each occurrence i is independently selected from 0 and 1, at each occurrence j is independently selected from 0, 1, 2 and 3, and at each occurrence R<sub>6</sub> is independently defined as above; or a pharmaceutically acceptable salt, ester or prodrug thereof.

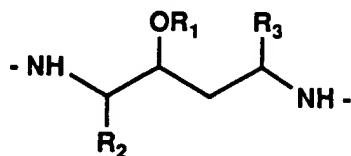
2. The compound of Claim 1 wherein R<sub>1</sub> is hydrogen and R<sub>2</sub> and R<sub>3</sub> are arylalkyl and wherein A is R<sub>6</sub>-C(O)-NH-CH(R<sub>5</sub>)-C(O)- wherein R<sub>5</sub> is arylalkyl and R<sub>6</sub> is R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl and B is -C(O)-R<sub>6</sub> wherein R<sub>6</sub> is independently R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl.

3. The compound of Claim 1 wherein R<sub>1</sub> is hydrogen and R<sub>2</sub> and R<sub>3</sub> are arylalkyl and wherein B is R<sub>6</sub>-C(O)-NH-CH(R<sub>5</sub>)-C(O)- wherein R<sub>5</sub> is arylalkyl and R<sub>6</sub> is R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl and A is -C(O)-R<sub>6</sub> wherein R<sub>6</sub> is independently R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl.

4. A compound of the formula:



wherein X is



wherein R<sub>1</sub> is hydrogen, loweralkyl, alkoxyalkyl, thioalkoxyalkyl or alkoxyalkoxyalkyl and R<sub>2</sub> and R<sub>3</sub> are independently -(R<sub>0</sub>)<sub>d</sub>-R<sub>5</sub> wherein at each occurrence R<sub>0</sub> is independently selected from -(CH<sub>2</sub>R<sub>4</sub>)- and loweralkenylene

wherein at each occurrence d is independently selected from 0 and 1, at each occurrence R<sub>4</sub> is independently selected from -S-, -O-, -NH-, -N(loweralkyl)-, -S(O)-, -S(O)<sub>2</sub>- and -CH<sub>2</sub>- and at each occurrence R<sub>5</sub> and R<sub>5\*</sub> are independently selected from

- (i) loweralkyl,
- (ii) aryl,
- (iii) thioalkoxyalkyl
- (iv) (aryl)alkyl,
- (v) cycloalkyl,
- (vi) cycloalkylalkyl,
- (vii) hydroxyalkyl,
- (viii) alkoxyalkyl,
- (ix) aryloxyalkyl,
- (x) haloalkyl,
- (xi) carboxyalkyl,
- (xii) alkoxy carbonylalkyl,
- (xiii) aminoalkyl,
- (xiv) (N-protected)aminoalkyl,
- (xv) alkylaminoalkyl,
- (xvi) ((N-protected)(alkyl)amino)alkyl,
- (xvii) dialkylaminoalkyl,
- (xviii) guanidinoalkyl,
- (xix) loweralkenyl,
- (xx) heterocyclic,
- (xxi) (heterocyclic)alkyl,
- (xxii) hydrogen,
- (xxiii) arylthioalkyl,
- (xxiv) arylsulfonylalkyl,
- (xxv) (heterocyclic)thioalkyl,
- (xxvi) (heterocyclic)sulfonylalkyl,
- (xxvii) (heterocyclic)oxyalkyl,
- (xxviii) arylalkoxyalkyl,

- (xxix) arylthioalkoxyalkyl,
- (xxx) arylalkylsulfonylalkyl,
- (xxxi) (heterocyclic)alkoxyalkyl,
- (xxxii) (heterocyclic)thioalkoxyalkyl,
- (xxxiii) (heterocyclic)alkylsulfonylalkyl,
- (xxxiv) cycloalkyloxyalkyl,
- (xxxv) cycloalkylthioalkyl,
- (xxxvi) cycloalkylsulfonylalkyl,
- (xxxvii) cycloalkylalkoxyalkyl,
- xxxviii cycloalkylthioalkoxyalkyl,
- (xxxix) cycloalkylalkylsulfonylalkyl,
- (xli) aminocarbonyl,
- (xli) alkylaminocarbonyl,
- (xlii) dialkylaminocarbonyl,
- (xlii) aroylalkyl,
- (xliv) (heterocyclic)carbonylalkyl,
- (xlv) polyhydroxyalkyl,
- (xlii) aminocarbonylalkyl,
- (xlvii) alkylaminocarbonylalkyl and
- (xlviii) dialkylaminocarbonylalkyl;

A and B are independently selected from  
Z- wherein at each occurrence Z is  $R_6-(C(R_{5*})(R_5))_e-(C(T))_f-$   
 $(C(R_{5*})(R_5))_g-(U)_i-(C(R_{5*})(R_5))_j-C(T)_f-$  wherein at each  
occurrence T is independently selected from O and S, at each  
occurrence  $R_5$  and  $R_{5*}$  are independently defined as above or  
 $R_5$ ,  $R_{5*}$  and the carbon atom to which they are bonded taken  
together form a carbocyclic ring of from 3 to 8 carbon atoms  
which can be optionally substituted with a loweralkyl group  
or when e, g or j is 2 or more,  $R_5$  and  $R_{5*}$  on adjacent carbon  
atoms when taken together form a carbocyclic ring of from 3  
to 8 carbon atoms which can be optionally substituted with a  
loweralkyl group, at each occurrence U is independently

selected from O, S and -N(R<sub>5</sub>)- wherein R<sub>5</sub> is independently defined as above, at each occurrence e is independently selected from 0, 1, 2 and 3, at each occurrence f is independently selected from 0 and 1, at each occurrence g is independently selected from 0, 1, 2 and 3, at each occurrence i is independently selected from 0 and 1, at each occurrence j is independently selected from 0, 1, 2 and 3, and at each occurrence R<sub>6</sub> is independently selected from

(a) R<sub>7</sub>-(R<sub>9</sub>)<sub>k</sub>- wherein at each occurrence R<sub>9</sub> is independently selected from N(R<sub>7</sub>), O and S and at each occurrence k is independently selected from 0 and 1,

(b) (R<sub>7</sub>)<sub>2</sub>N-O-,

(c) R<sub>7</sub>S(O)<sub>2</sub>N(R<sub>5</sub>)- and

(d) R<sub>170</sub>R<sub>171</sub>CH=CH- wherein at each occurrence R<sub>171</sub> is absent, O, S, NH or -N(alkyl)- and at each occurrence R<sub>170</sub> is aryl or heterocyclic and wherein at each occurrence R<sub>5</sub> is independently defined as above and at each occurrence R<sub>7</sub> is independently selected from:

- (i) hydrogen,
- (ii) loweralkyl,
- (iii) cycloalkyl,
- (iv) aryl,
- (v) arylalkyl,
- (vi) (aryl)alkoxyalkyl,
- (vii) aminoalkyl,
- (viii) N-protected-aminoalkyl,
- (ix) alkylaminoalkyl,
- (x) (N-protected)(alkyl)aminoalkyl,
- (xi) dialkylaminoalkyl,
- (xii) carboxyalkoxyalkyl,
- (xiii) (alkoxycarbonyl)alkoxyalkyl,
- (xiv) carboxyalkyl,

- (xv) alkoxy carbonyl alkyl,
- (xvi) (amino) carboxy alkyl,
- (xvii) ((N-protected) amino) carboxy alkyl,
- (xviii) (alkylamino) carboxy alkyl,
- (xix) ((N-protected) alkylamino) carboxy alkyl,
- (xx) (dialkylamino) carboxy alkyl,
- (xxi) (amino) alkoxy carbonyl alkyl,
- (xxii) ((N-protected) amino) alkoxy carbonyl alkyl,
- (xxiii) (alkylamino) alkoxy carbonyl alkyl,
- (xxiv) ((N-protected) alkylamino) alkoxy carbonyl alkyl,
- (xxv) (dialkylamino) alkoxy carbonyl alkyl,
- (xxvi) aminocyclo alkyl,
- (xxvii) alkoxy alkyl,
- (xxviii) (polyalkoxy) alkyl,
- (xxix) heterocyclic,
- (xxx) (heterocyclic) alkyl,
- (xxxi) (hydroxyamino) alkyl,
- (xxxii) (alkoxyamino) alkyl,
- (xxxiii) N-protecting group,
- (xxxiv) cyclo alkyl alkyl,
- (xxxv) lower alkenyl,
- (xxxvi) hydroxy alkyl,
- (xxxvii) dihydroxy alkyl,
- (xxxviii) (alkoxy) (alkyl) amino alkyl,
- (xxxix) alkylaminocyclo alkyl,
- (lx) dialkylaminocyclo alkyl,
- (lxi) polyhydroxy alkyl,
- (lxii) aryloxy alkyl,
- (lxiii) arylthio alkyl,
- (lxiv) arylsulfonyl alkyl,

- (lxv) (heterocyclic)thioalkyl,
- (lxvi) (heterocyclic)sulfonylalkyl,
- (lxvii) (heterocyclic)oxyalkyl,
- (lxviii) arylalkoxyalkyl,
- (lxix) arylthioalkoxyalkyl,
- (lxx) arylalkylsulfonylalkyl,
- (lxxi) (heterocyclic)alkoxyalkyl,
- (lxxii) (heterocyclic)thioalkoxyalkyl,
- (lxxiii) (heterocyclic)alkylsulfonylalkyl,
- (lxxiv) cycloalkyloxyalkyl,
- (lxxv) cycloalkylthioalkyl,
- (lxxvi) cycloalkylsulfonylalkyl,
- (lxxvii) cycloalkylalkoxyalkyl,
- (lxxviii) cycloalkylthioalkoxyalkyl,
- (lxxix) cycloalkylalkylsulfonylalkyl,
- (lxxx) aroylalkyl,
- (lxxxi) (heterocyclic)carbonylalkyl,
- (lxxxii) (aryl)aminoalkyl,
- (lxxxiii) (aryl)(alkyl)aminoalkyl,
- (lxxxiv) (arylalkyl)aminoalkyl,
- (lxxxv) (arylalkyl)(alkyl)aminoalkyl,
- (lxxxvi) (heterocyclic)aminoalkyl,
- (lxxxvii) (heterocyclic)(alkyl)aminoalkyl,
- (lxxxviii) ((heterocyclic)alkyl)aminoalkyl,
- (lxxxix) ((heterocyclic)alkyl)alkylaminoalkyl
- (xc) (alkoxyalkyl)aminoalkyl,
- (xci) thioalkoxyalkyl,
- (xcii) mercaptoalkyl,
- (xciii) aminocarbonylalkyl,
- (xciv) alkylaminocarbonylalkyl and
- (xcv) dialkylaminocarbonylalkyl;

or a pharmaceutically acceptable salt, ester or prodrug thereof.

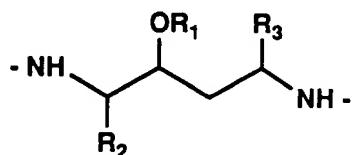
5. The compound of Claim 4 wherein R<sub>1</sub> is hydrogen and R<sub>2</sub> and R<sub>3</sub> are arylalkyl and wherein A is R<sub>6</sub>-C(O)-NH-CH(R<sub>5</sub>)-C(O)- wherein R<sub>5</sub> is arylalkyl and R<sub>6</sub> is R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl and B is -C(O)-R<sub>6</sub> wherein R<sub>6</sub> is independently R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl.

6. The compound of Claim 4 wherein R<sub>1</sub> is hydrogen and R<sub>2</sub> and R<sub>3</sub> are arylalkyl and wherein B is R<sub>6</sub>-C(O)-NH-CH(R<sub>5</sub>)-C(O)- wherein R<sub>5</sub> is arylalkyl and R<sub>6</sub> is R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl and A is -C(O)-R<sub>6</sub> wherein R<sub>6</sub> is independently R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- or R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl.

7. A compound of the formula:



wherein X is



wherein R<sub>1</sub> is hydrogen, loweralkyl, alkoxyalkyl, thioalkoxyalkyl or alkoxyalkoxyalkyl and R<sub>2</sub> and R<sub>3</sub> are independently selected from arylalkyl, cycloalkylalkyl and (heterocyclic)alkyl;

A and B are independently selected from R<sub>6</sub>-C(O)-(NH)-CH(R<sub>5</sub>))-C(O)- and R<sub>6</sub>-C(O)- wherein at each occurrence R<sub>6</sub> is independently selected from R<sub>7</sub>-NH-, R<sub>7</sub>-N(loweralkyl)-, R<sub>7</sub>-O- and R<sub>7</sub>-S- wherein R<sub>7</sub> is (heterocyclic)alkyl and at each occurrence R<sub>5</sub> is independently selected from loweralkyl; or a pharmaceutically acceptable salt, ester or prodrug thereof.

8. (2S,3S,5S)-2-(N-(N-((2-Pyridinyl)methoxycarbonyl)-valinyl)amino)-5-(N-((3-pyridinyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof.

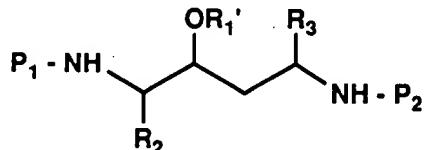
9. (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-pyridinyl)-methyl)amino)carbonyl)valinyl)amino)-2-(N-((3-pyridinyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof.

10. (2S,3S,5S)-2-(N-((3-Pyridinyl)methoxycarbonyl)amino)-5-(N-(N-((N-Methyl-N-((6-methyl-2-pyridinyl)methyl)-amino)carbonyl)valinyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof.

11. A compound selected from the group consisting of: (2S,3S,5S)-2-(N-(N-((N-Methyl-N-((2-pyridinyl)-methyl)amino)carbonyl)valinyl)amino)-5-(N-((3-pyridinyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; (2S,3S,5S)-5-(N-(N-((2-Pyridinyl)methoxycarbonyl)-valinyl)amino)-2-(N-((3-pyridinyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-methyl-N-((2-pyridinyl)-methyl)amino)carbonyl)isoleucinyl)amino)-2-(N-((3-pyridinyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane.  
(2S,3S,5S)-2,5-Di{N-(3-pyridylmethyl)oxy-carbonyl)amino}-3-hydroxy-1,6-diphenylhexane;  
(2S,3S,5S)-2-(N-(N-Methyl-N-((6-methyl-2-pyridinyl)methyl)amino)carbonyl)valinyl)amino)-5-(N-((3-pyridinyl)-methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and  
(2S,3S,5S)-2-(N-[(pyridin-3-yl)methoxycarbonyl]amino)-5-(N-[(6-methylpyridin-2-yl)methoxycarbonyl-valyllamino)-1,6-diphenyl-3-hydroxyhexane;  
or a pharmaceutically acceptable salt, ester or prodrug thereof.

12. A compound of the formula:



wherein P<sub>1</sub> and P<sub>2</sub> are independently selected from hydrogen and an N-protecting group; R<sub>1'</sub> is hydrogen, loweralkyl, alkoxyalkyl or an O-protecting group; and R<sub>2</sub> and R<sub>3</sub> are independently -(R<sub>0</sub>)<sub>d</sub>-R<sub>5</sub>) wherein at each occurrence R<sub>0</sub> is independently selected from -(CH<sub>2</sub>R<sub>4</sub>)- and loweralkenylene wherein at each occurrence d is independently selected from 0 and 1, at each occurrence R<sub>4</sub> is independently selected from -S-, -O-, -NH-, -N(loweralkyl)-, -S(O)-, -S(O)<sub>2</sub>- and -CH<sub>2</sub>- and at each occurrence R<sub>5</sub> is independently selected from (i) loweralkyl, (ii) aryl, (iii) thioalkoxyalkyl,

(iv) (aryl)alkyl, (v) cycloalkyl, (vi) cycloalkylalkyl, (vii) hydroxyalkyl, (viii) alkoxyalkyl, (ix) aryloxyalkyl, (x) haloalkyl, (xi) carboxyalkyl, (xii) alkoxy carbonyl-alkyl, (xiii) aminoalkyl, (xiv) (N-protected)aminoalkyl, (xv) alkylaminoalkyl, (xvi) ((N-protected)(alkyl)amino)-alkyl, (xvii) dialkylaminoalkyl, (xviii) guanidinoalkyl, (xix) loweralkenyl, (xx) heterocyclic, (xxi) (heterocyclic)alkyl, (xxii) hydrogen, (xxiii) arylthioalkyl, (xxiv) arylsulfonylalkyl, (xxv) (heterocyclic)thioalkyl, (xxvi) (heterocyclic)-sulfonylalkyl, (xxvii) (heterocyclic)oxyalkyl, (xxviii) arylalkoxyalkyl, (xxix) arylthioalkoxyalkyl, (xxx) arylalkylsulfonylalkyl, (xxxi) (heterocyclic)-alkoxyalkyl, (xxxii) (heterocyclic)thioalkoxyalkyl, (xxxiii) (heterocyclic)alkylsulfonylalkyl, (xxxiv) cycloalkyloxyalkyl, (xxxv) cycloalkylthioalkyl, (xxxvi) cycloalkylsulfonylalkyl, (xxxvii) cycloalkyl-alkoxyalkyl, (xxxviii) cycloalkylthioalkoxyalkyl, (xxxix) cycloalkylalkylsulfonylalkyl, (xl) aminocarbonyl, (xli) alkylaminocarbonyl, (xlii) dialkylaminocarbonyl, (xliii) aroylalkyl, (xlv) (heterocyclic)carbonylalkyl, (xlv) polyhydroxyalkyl, (xlv) aminocarbonylalkyl, (xlvii) alkylaminocarbonylalkyl and (xlviii) dialkylaminocarbonylalkyl; or a salt or ester thereof.

13. The compound of Claim 12 wherein R<sub>2</sub> and R<sub>3</sub> are benzyl.

14. A method for inhibiting HIV protease comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

15. A method for treating an HIV infection comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

16. A pharmaceutical composition for treating an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of Claim 1.

17. A method for inhibiting HIV protease comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 8.

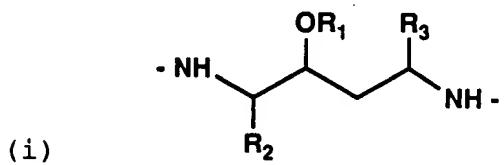
18. A method for treating an HIV infection comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 8.

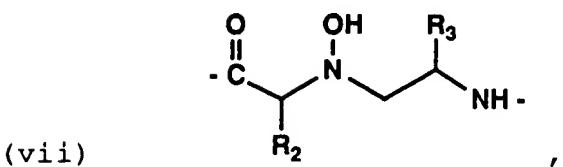
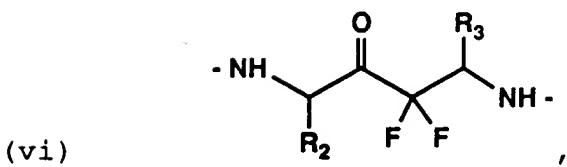
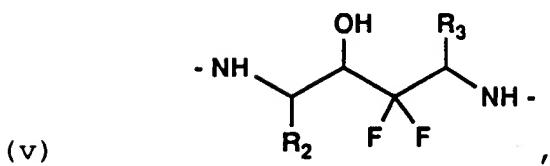
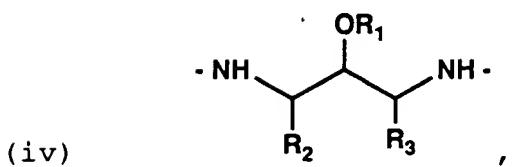
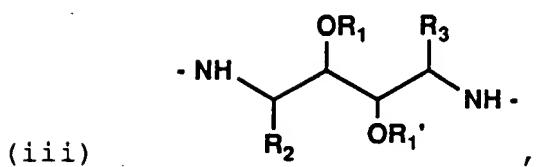
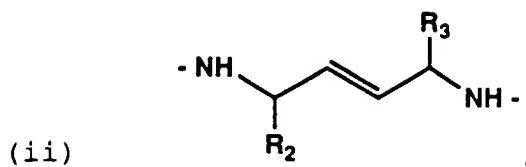
19. A pharmaceutical composition for treating an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of Claim 8.

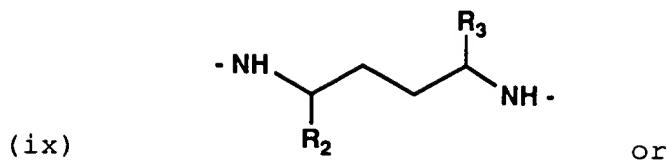
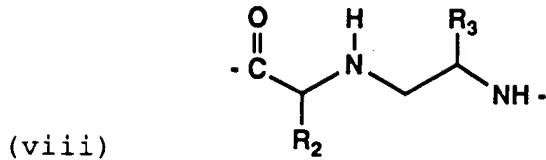
20. A compound of the formula:



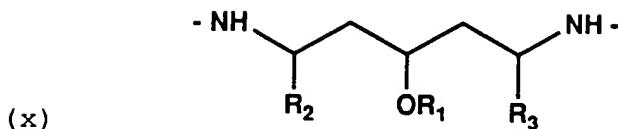
wherein X is







or



wherein R<sub>1</sub> and R<sub>1'</sub> are independently selected from hydrogen, loweralkyl, alkoxyalkyl, thioalkoxyalkyl and alkoxyalkoxyalkyl or R<sub>1</sub> and R<sub>1'</sub> and the oxygen atoms to which they are bonded taken together are -O-C(O)-O- or -O-C(S)-O- and R<sub>2</sub> and R<sub>3</sub> are independently -((R<sub>0</sub>)<sub>d</sub>-R<sub>5</sub>) wherein at each occurrence R<sub>0</sub> is independently selected from -(CH<sub>2</sub>R<sub>4</sub>)- and loweralkenylene wherein at each occurrence d is independently selected from 0 and 1, at each occurrence R<sub>4</sub> is independently selected from -S-, -O-, -NH-, -N(loweralkyl)-, -S(O)-, -S(O)<sub>2</sub>- and -CH<sub>2</sub>- and at each occurrence R<sub>5</sub> and R<sub>5\*</sub> are independently selected from

- (i) loweralkyl,
- (ii) aryl,
- (iii) thioalkoxyalkyl
- (iv) (aryl)alkyl,
- (v) cycloalkyl,
- (vi) cycloalkylalkyl,
- (vii) hydroxyalkyl,

- (viii) alkoxyalkyl,
- (ix) aryloxyalkyl,
- (x) haloalkyl,
- (xi) carboxyalkyl,
- (xii) alkoxy carbonylalkyl,
- (xiii) aminoalkyl,
- (xiv) (N-protected) aminoalkyl,
- (xv) alkylaminoalkyl,
- (xvi) ((N-protected) (alkyl) amino) alkyl,
- (xvii) dialkylaminoalkyl,
- (xviii) guanidinoalkyl,
- (xix) loweralkenyl,
- (xx) heterocyclic,
- (xxi) (heterocyclic) alkyl,
- (xxii) hydrogen,
- (xxiii) arylthioalkyl,
- (xxiv) arylsulfonylalkyl,
- (xxv) (heterocyclic) thioalkyl,
- (xxvi) (heterocyclic) sulfonylalkyl,
- (xxvii) (heterocyclic) oxyalkyl,
- (xxviii) arylalkoxyalkyl,
- (xxix) arylthioalkoxyalkyl,
- (xxx) arylalkylsulfonylalkyl,
- (xxxi) (heterocyclic) alkoxyalkyl,
- (xxxii) (heterocyclic) thioalkoxyalkyl,
- (xxxiii) (heterocyclic) alkylsulfonylalkyl,
- (xxxiv) cycloalkyloxyalkyl,
- (xxxv) cycloalkylthioalkyl,
- (xxxvi) cycloalkylsulfonylalkyl,
- (xxxvii) cycloalkylalkoxyalkyl,
- (xxxviii) cycloalkylthioalkoxyalkyl,
- (xxxix) cycloalkylalkylsulfonylalkyl,
- (xl) aminocarbonyl,

- (xli) alkylaminocarbonyl,
- (xlii) dialkylaminocarbonyl,
- (xlifi) aroylalkyl,
- (xliv) (heterocyclic)carbonylalkyl,
- (xlv) polyhydroxyalkyl,
- (xlvi) aminocarbonylalkyl,
- (xlvii) alkylaminocarbonylalkyl and
- (xlviii) dialkylaminocarbonylalkyl;

A and B are independently selected from

(1) Z-W-

wherein at each occurrence W is absent or represents a peptide chain containing 1-3 amino acids wherein and at each occurrence Z is  $R_6 - (C(R_{5*})(R_5))_e - (C(T))_f - (C(R_{5*})(R_5))_g - (U)_i - (C(R_{5*})(R_5))_j - C(T)_f -$  wherein at each occurrence  $R_6 - (C(R_{5*})(R_5))_e - (C(T))_f - (C(R_{5*})(R_5))_g - (U)_i - (C(R_{5*})(R_5))_j - C(T)_f -$  is bonded to the amino terminus of the peptide chain, at each occurrence T is independently selected from O and S, at each occurrence R<sub>5</sub> and R<sub>5\*</sub> are independently defined as above or R<sub>5</sub>, R<sub>5\*</sub> and the carbon atom to which they are bonded taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group or when e, g or j is 2 or more, R<sub>5</sub> and R<sub>5\*</sub> on adjacent carbon atoms when taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group, at each occurrence U is independently selected from O, S and -N(R<sub>5</sub>)- wherein R<sub>5</sub> is independently defined as above, at each occurrence e is independently selected from 0, 1, 2 and 3, at each occurrence f is independently selected from 0 and 1, at each occurrence g is independently selected from 0, 1, 2 and 3, at each occurrence i is independently selected from 0 and 1, at each

occurrence j is independently selected from 0, 1, 2 and 3, and at each occurrence R<sub>6</sub> is independently selected from

(a) R<sub>7</sub>-(R<sub>9</sub>)<sub>k</sub>- wherein at each occurrence R<sub>9</sub> is independently selected from N(R<sub>7</sub>), O and S and at each occurrence k is independently selected from 0 and 1,  
(b) (R<sub>7</sub>)<sub>2</sub>N-O-,  
(c) R<sub>7</sub>S(O)<sub>2</sub>N(R<sub>5</sub>)- and  
(d) R<sub>170</sub>R<sub>171</sub>CH=CH- wherein at each occurrence R<sub>171</sub> is absent, O, S, NH or -N(alkyl)- and at each occurrence R<sub>170</sub> is aryl or heterocyclic and wherein at each occurrence R<sub>5</sub> is independently defined as above and at each occurrence R<sub>7</sub> is independently selected from:

- (i) hydrogen,
- (ii) loweralkyl,
- (iii) cycloalkyl,
- (iv) aryl,
- (v) arylalkyl,
- (vi) (aryl)alkoxyalkyl,
- (vii) aminoalkyl,
- (viii) N-protected-aminoalkyl,
- (ix) alkylaminoalkyl,
- (x) (N-protected) (alkyl)aminoalkyl,
- (xi) dialkylaminoalkyl,
- (xii) carboxyalkoxyalkyl,
- (xiii) (alkoxycarbonyl)alkoxyalkyl,
- (xiv) carboxyalkyl,
- (xv) alkoxy carbonylalkyl,
- (xvi) (amino)carboxyalkyl,
- (xvii) ((N-protected)amino)carboxyalkyl,
- (xviii) (alkylamino)carboxyalkyl,
- (xix) ((N-protected)alkylamino)carboxy-alkyl,

- (xx) (dialkylamino)carboxyalkyl,
- (xxi) (amino)alkoxycarbonylalkyl,
- (xxii) ((N-protected)amino)alkoxycarbonyl-alkyl,
- (xxiii) (alkylamino)alkoxycarbonylalkyl,
- (xxiv) ((N-protected)alkylamino)alkoxy-carbonylalkyl,
- (xxv) (dialkylamino)alkoxycarbonylalkyl,
- (xxvi) aminocycloalkyl,
- (xxvii) alkoxyalkyl,
- (xxviii) (polyalkoxy)alkyl,
- (xxix) heterocyclic,
- (xxx) (heterocyclic)alkyl,
- (xxxi) (hydroxyamino)alkyl,
- (xxxii) (alkoxyamino)alkyl,
- (xxxiii) N-protecting group,
- (xxxiv) cycloalkylalkyl,
- (xxxv) loweralkenyl,
- (xxxvi) hydroxyalkyl,
- (xxxvii) dihydroxyalkyl,
- (xxxviii) (alkoxy)(alkyl)aminoalkyl,
- (xxxix) alkylaminocycloalkyl,
- (lx) dialkylaminocycloalkyl,
- (lxi) polyhydroxyalkyl,
- (lxii) aryloxyalkyl,
- (lxiii) arylthioalkyl,
- (lxiv) arylsulfonylalkyl,
- (lxv) (heterocyclic)thioalkyl,
- (lxvi) (heterocyclic)sulfonylalkyl,
- (lxvii) (heterocyclic)oxyalkyl,
- (lxviii) arylalkoxyalkyl,
- (lxix) arylthioalkoxyalkyl,
- (lxx) arylalkylsulfonylalkyl,

- (lxxi) (heterocyclic)alkoxyalkyl,
- (lxxii) (heterocyclic)thioalkoxyalkyl,
- (lxxiii) (heterocyclic)alkylsulfonyalkyl,
- (lxxiv) cycloalkyloxyalkyl,
- (lxxv) cycloalkylthioalkyl,
- (lxxvi) cycloalkylsulfonylalkyl,
- (lxxvii) cycloalkylalkoxyalkyl,
- (lxxviii) cycloalkylthioalkoxyalkyl,
- (lxxix) cycloalkylalkylsulfonylalkyl,
- (lxxx) aroylalkyl,
- (lxxxi) (heterocyclic)carbonylalkyl,
- (lxxxii) (aryl)aminoalkyl,
- (lxxxiii) (aryl)(alkyl)aminoalkyl,
- (lxxxiv) (arylalkyl)aminoalkyl,
- (lxxxv) (arylalkyl)(alkyl)aminoalkyl,
- (lxxxvi) (heterocyclic)aminoalkyl,
- (lxxxvii) (heterocyclic)(alkyl)aminoalkyl,
- (lxxxviii) ((heterocyclic)alkyl)aminoalkyl,
- (lxxxix) ((heterocyclic)alkyl)alkylaminoalkyl
- (xc) (alkoxyalkyl)aminoalkyl,
- (xci) thioalkoxyalkyl,
- (xcii) mercaptoalkyl,
- (xciii) aminocarbonylalkyl,
- (xciv) alkylaminocarbonylalkyl and
- (xcv) dialkylaminocarbonylalkyl;

and

(2) Z'-W'

wherein at each occurrence W' is absent or represents a peptide chain containing 1-3 amino acids and wherein at each occurrence Z' is

R<sub>6</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>e</sub>-(S(O))<sub>m</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>g</sub>-(U)<sub>i</sub>-(C(R<sub>5\*</sub>)(R<sub>5</sub>))<sub>j</sub>-C(T)<sub>i</sub>-

wherein  $R_6-(C(R_5^*)(R_5))_e-(S(O))_m-(C(R_5^*)(R_5))_g-(U)_i-$   
 $(C(R_5^*)(R_5))_j-C(T)_i-$  is bonded to the amino terminus of the peptide chain wherein at each occurrence T is independently selected from O and S, at each occurrence  $R_5$  and  $R_5^*$  are independently defined as above or  $R_5$ ,  $R_5^*$  and the carbon atom to which they are bonded taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group or when e, g or j is 2 or more,  $R_5$  and  $R_5^*$  on adjacent carbon atoms when taken together form a carbocyclic ring of from 3 to 8 carbon atoms which can be optionally substituted with a loweralkyl group, at each occurrence U is independently selected from O, S and  $-N(R_5)-$  wherein  $R_5$  is independently defined as above, at each occurrence e is independently selected from 0, 1, 2 and 3, at each occurrence m is independently selected from 1 and 2, at each occurrence g is independently selected from 0, 1, 2 and 3, at each occurrence i is independently selected from 0 and 1, at each occurrence j is independently selected from 0, 1, 2 and 3, and at each occurrence  $R_6$  is independently defined as above; or a pharmaceutically acceptable salt, prodrug or ester thereof.